(19) World Intellectual Property Organization International Bureau





(43) International Publication Date 3 January 2003 (03.01.2003)

(10) International Publication Number WO 2003/000295 A3

- (51) International Patent Classification7: A61K 47/44, 9/10, 9/107, 31/4706, 31/44, 31/4196, 31/47, 31/015,
- 31/41, A61P 3/06
- (21) International Application Number:

PCT/IB2002/001571

- (22) International Filing Date: 3 May 2002 (03.05.2002)
- (25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data: 60/300,028

21 June 2001 (21.06.2001) US

- (71) Applicant (for all designated States except US): PFIZER PRODUCTS INC. [US/US]; Eastern Point Road, Groton, CT 06340 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): GUMKOWSKI, Michael, Jon [US/US]; Pfizer Global Research and Development, Eastern Point Road, Groton, CT 06340 (US). MURDANDE, Sharad, Balasaheb [IN/US]; Pfizer Global Research and Development, Eastern Point Road. Groton, CT 06340 (US). PERLMAN, Michael, Ellis [US/US]; Pfizer Global Research and Development, Eastern Point Road, Groton, CT 06340 (US). LOMBARDO, Franco [US/US]; Pfizer Global Research and Development, Eastern Point Road, Groton, CT 06340 (US).

- (74) Agents: LUMB, J., Trevor et al.; Pfizer Inc., 201 Tabor Road, Morris Plains, NJ 07950 (US).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- (88) Date of publication of the international search report: 27 May 2004

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.



(57) Abstract: CETP Inhibitors have improved solubility and bioavailability in a lipophilic vehicle comprising a digestible oil, a lipophilic solvent, or a surfactant. Preferred such compositions are self-emulsifying or self-microemulsifying, and comprise 1. a CETP inhibitor; 2. a cosolvent; 3. a surfactant having an HLB of 1 to 8; 4. a surfactant having an HLB of over 8 to 20; and 5. optionally, a digestible oil.

International Application No PCT/IB 02/01571

A. CLASSIFICATION OF SUBJECT MATTER IPC 7 A61K47/44 A61K A61K9/10 A61K9/107 A61K31/4706 A61K31/44 A61K31/4196 A61K31/47 A61K31/015 A61K31/41 A61P3/06 According to International Patent Classification (IPC) or to both national classification and IPC Minimum documentation searched (classification system followed by classification symbols) IPC 7 A61K A61P Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched Electronic data base consulted during the international search (name of data base and, where practical, search terms used) EPO-Internal, WPI Data, PAJ, CHEM ABS Data, BIOSIS, EMBASE C. DOCUMENTS CONSIDERED TO BE RELEVANT Category 3 Citation of document, with indication, where appropriate, of the relevant passages Relevant to claim No. X WO 00 18723 A (MISCHKE DEBORAH A ; MONSANTO 8-10 CO (US); PARNAS BARRY L (US); DURLEY R) 6 April 2000 (2000-04-06) cited in the application the whole document page 65, line 11 - line 34 X WO 99 14204 A (SEARLE & CO ;SIKORSKI JAMES A (US)) 25 March 1999 (1999-03-25) 8-10 cited in the application the whole document page 83, line 9 -page 84, line 7 χl Further documents are listed in the continuation of box C. Patent family members are listed in annex. Special categories of cited documents: "I later document published after the international filing date or priority date and not in conflict with the application but clied to understand the principle or theory underlying the "A" document defining the general state of the art which is not considered to be of particular relevance invention earlier document but published on or after the International *X° document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone filing date "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such docu-ments, such combination being obvious to a person skilled in the art. "O" document referring to an oral disclosure, use, exhibition or Other means document published prior to the International filing date but later than the priority date claimed "&" document member of the same patent family Date of the actual completion of the international search Date of mailing of the international search report 3 February 2003 20/02/2003 Name and mailing address of the ISA Authorized officer European Patent Office, P.B. 5818 Patentiaan 2 NL - 2280 HV Rijswijk Tel (+31-70) 340-2040, Tx. 31 651 epo ni, Fax (+31-70) 340-3016 Economou, D

٠٠ ٠٠

International Application No PCT/IB 02/01571

C.(Continu	ation) DOCUMENTS CONSIDERED TO BE RELEVANT	701718 02/013/1
Category *		Relevant to claim No.
X	WO 99 41237 A (CONNOLLY DANIEL T; SCHILLING ROGER J (US); GLENN KEVIN C (US); LEE) 19 August 1999 (1999-08-19) cited in the application the whole document page 166, line 23 -page 167, line 20	8-10
Υ	DE 197 41 399 A (BAYER AG) 25 March 1999 (1999-03-25) cited in the application the whole document	8-10
Y	EP 1 020 439 A (JAPAN TOBACCO INC) 19 July 2000 (2000-07-19) cited in the application the whole document	8-10
Υ	WO 01 40190 A (APPLETON TROY ANTHONY; PFIZER PROD INC (US); ALLEN DOUGLAS JOHN ME) 7 June 2001 (2001-06-07) cited in the application the whole document page 14, line 15 - line 22	8-10
Υ	WO 00 17164 A (WESTER RONALD THURE ;PFIZER PROD INC (US); DENINNO MICHAEL PAUL (U) 30 March 2000 (2000-03-30) cited in the application the whole document page 78; examples 7,8	8-10
Υ	EP 0 992 496 A (PFIZER PROD INC) 12 April 2000 (2000-04-12) cited in the application the whole document page 37; examples 7,8	8-10
Y	WO 00 17165 A (WESTER RONALD THURE ;PFIZER PROD INC (US); DENINNO MICHAEL PAUL (U) 30 March 2000 (2000-03-30) cited in the application the whole document page 79; examples 7,8	8-10
Y	US 5 993 858 A (CRISON JOHN R ET AL) 30 November 1999 (1999-11-30) cited in the application column 1, line 10 -column 4, line 55 column 5, line 1 - line 45 example 1 column 7, line 64 -column 8, line 4 claims 1-12	8-10
i		

1

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: 1-7; 8-15 (partially)

Present claims 1-7 relate to an extremely large number of possible compounds and products. Support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds/products claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely those parts relating to the compositions comprising the compounds defined in claims 8-10 in combination with the surfactants mentioned in claims 5-6, since their definition by "high HLB" or "low HLB" is unclear.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

International application No. PCT/IB 02/01571

Box 1 Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)
This international Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:
Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely:
2. X Claims Nos.: 1-7; 8-15 (partially) because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful international Search can be carried out, specifically: see FURTHER INFORMATION sheet PCT/ISA/210
3. Claims Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).
Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)
This international Searching Authority found multiple inventions in this international application, as follows:
As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. As only some of the required additional search fees were timely paid by the applicant, this international Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:
Remark on Protest The additional search fees were accompanied by the applicant's protest. No protest accompanied the payment of additional search fees.

Form PCT/ISA/210 (continuation of first sheet (1)) (July 1998)

Intermonal Application No
PCT/IB 02/01571

					PCT/IE	3 02/01571
	atent document I in search report		Publication date		Patent family member(s)	Publication date
MO	0018723	Α	06-04-2000	AU	6161099 A	17-04-2000
				CA	2345108 A1	06-04-2000
				EP	1115694 A1	18-07-2001
				JP	2002525350 T	13-08-2002
				WO	0018723 A1	06-04-2000
HO.	9914204		05 00 1000			
WO	9914204	Α	25-03-1999	AU	9297798 A	05-04-1999
				WO	9914204 A1	25-03-1999
WO	9941237	Α	19-08-1999	AU	3285499 A	30-08-1999
				WO	9941237 A1	19-08-1999
DE	19741399	Α	25-03-1999	DE.	10741200 41	05 00 1000
<i>-</i>	13171333	Α	59-03-1999	DE AU	19741399 A1	25-03-1999
				WO	9537098 A	12-04-1999
				EP	9915504 A1	01-04-1999
				JP	1017677 A1 2001517655 T	12-07-2000
				US	2001517655 T 2002165252 A1	09-10-2001
	1			US		07-11-2002
				US	6291477 B1	18-09-2001
				<u> </u>	2002042515 A1	11-04-2002
EP	1020439	A	19-07-2000	JP	2894445 B2	24-05-1999
				JP	11049743 A	23-02-1999
				ΑU	728979 B2	25-01-2001
				ΑU	5781898 A	08-09-1998
				BR	9807222 A	23-05-2000
				EP	1020439 A1	19-07-2000
				HU	0002483 A2	28-05-2001
	•			NO	993869 A	23-09-1999
				NZ	337122 A	23-02-2001
				SK	109599 A3	16-05-2000
				US	6426365 B1	30-07-2002
				CN	1252053 T	03-05-2000
				MO	9835937 A1	20-08-1998
				JP	3290962 B2	10-06-2002
				JP	11222428 A	17-08-1999
				RU	2188631 C2	10-09-2002
				ZA	9801119 A	24-08-1998
				TR	9901932 T2	21-06-2000
MO	0140190	Α	07-06-2001	AU	1048801 A	12-06-2001
				BR	0015836 Á	06-08-2002
	•			EP	1246804 A1	09-10-2002
				WO	0140190 A1	07-06-2001
				NO	20022558 A	29-05-2002
				TR	200201446 T2	21-11-2002
MO	0017164	A	30-03-2000	AU	752566 B2	19-09-2002
		••	30 00 E000	AU	5440199 A	10-04-2002
				BG	105428 A	31-01-2002
				BR	9913810 A	19-06-2001
				CA	2344350 A1	30-03-2000
				CN	1318058 T	17-10-2001
				CZ	20010955 A3	12-12-2001
				EE	20010955 AS 200100166 A	17-06-2002
				EP	1114031 A1	11-07-2002
						30-04-2002
				ארן	ZUU111ZU1 A1	
				HR Hu	20010201 A1 0103506 A2	28-01 - 2002

International Application No
PCT/IB 02/01571

WO 0017164 A EP 0992496 A 12-04-2000	WO JP NO NZ PL SK TR US BR EP JP US	0017164 A1 2002526475 T 20011350 A 509840 A 347099 A1 3542001 A3 200100768 T2 6197786 B1 9904162 A 0992496 A1 2000095764 A 6147089 A 6310075 B1	30-03-2000 20-08-2002 09-05-2001 26-11-2002 25-03-2002 04-06-2002 23-07-2001 06-03-2001 12-09-2000 04-04-2000 04-11-2000 30-10-2001
EP 0992496 A 12-04-2000	NO NZ PL SK TR US BR EP JP US	20011350 A 509840 A 347099 A1 3542001 A3 200100768 T2 6197786 B1 9904162 A 0992496 A1 2000095764 A 6147089 A	09-05-2001 26-11-2002 25-03-2002 04-06-2002 23-07-2001 06-03-2001 12-09-2000 12-04-2000 04-04-2000
EP 0992496 A 12-04-2000	NZ PL SK TR US BR EP JP US	509840 A 347099 A1 3542001 A3 200100768 T2 6197786 B1 9904162 A 0992496 A1 2000095764 A 6147089 A	26-11-2002 25-03-2002 04-06-2002 23-07-2001 06-03-2001 12-09-2000 12-04-2000 04-04-2000
EP 0992496 A 12-04-2000	PL SK TR US BR EP JP US US	347099 A1 3542001 A3 200100768 T2 6197786 B1 9904162 A 0992496 A1 2000095764 A 6147089 A	25-03-2002 04-06-2002 23-07-2001 06-03-2001 12-09-2000 12-04-2000 04-04-2000 14-11-2000
EP 0992496 A 12-04-2000	SK TR US BR EP JP US US	3542001 A3 200100768 T2 6197786 B1 9904162 A 0992496 A1 2000095764 A 6147089 A	04-06-2002 23-07-2001 06-03-2001 12-09-2000 12-04-2000 04-04-2000 14-11-2000
EP 0992496 A 12-04-2000	TR US BR EP JP US US	200100768 T2 6197786 B1 9904162 A 0992496 A1 2000095764 A 6147089 A	23-07-2001 06-03-2001 12-09-2000 12-04-2000 04-04-2000 14-11-2000
EP 0992496 A 12-04-2000	BR EP JP US US	6197786 B1 9904162 A 0992496 A1 2000095764 A 6147089 A	06-03-2001 12-09-2000 12-04-2000 04-04-2000 14-11-2000
EP 0992496 A 12-04-2000	BR EP JP US US	9904162 A 0992496 A1 2000095764 A 6147089 A	12-09-2000 12-04-2000 04-04-2000 14-11-2000
EP 0992496 A 12-04-2000	EP JP US US	0992496 A1 2000095764 A 6147089 A	12-04-2000 04-04-2000 14-11-2000
• •	JP US US	2000095764 A 6147089 A	12-04-2000 04-04-2000 14-11-2000
•	US US	6147089 A	04-04-2000 14-11-2000
	US		14-11-2000
		6310075 B1	30-10-2001
WO 0017165 A 30-03-2000	ΑU	747715 B2	23-05-2002
	ΑU	5440399 A	10-04-2000
	BG	105429 A	31-12-2001
ı	BR	9913855 A	24-07-2001
	CA	2344248 A1	30-03-2000
	CN	1318057 T	17-10-2001
	CZ	20010954 A3	12-12-2001
	EE	200100167 A	17-06-2002
	EP	1114032 A1	11-07-2001
	HR	20010200 A1	30-04-2002
	HU	0103512 A2	28-02-2002
	MO	0017165 A1	30-03-2000
	JP	2002526476 T	. 20-08-2002
	NO	20011349 A	14-05-2001
	NZ	509837 A	26-11-2002
	PL	346830 A1	25-02-2002
•	SK	3532001 A3	03-12-2001
	TR	200100780 T2	23-07-2001
	US US	6140343 A 6489478 B1	31-10-2000 03-12-2002
US 5993858 A 30-11-1999	NON	······	